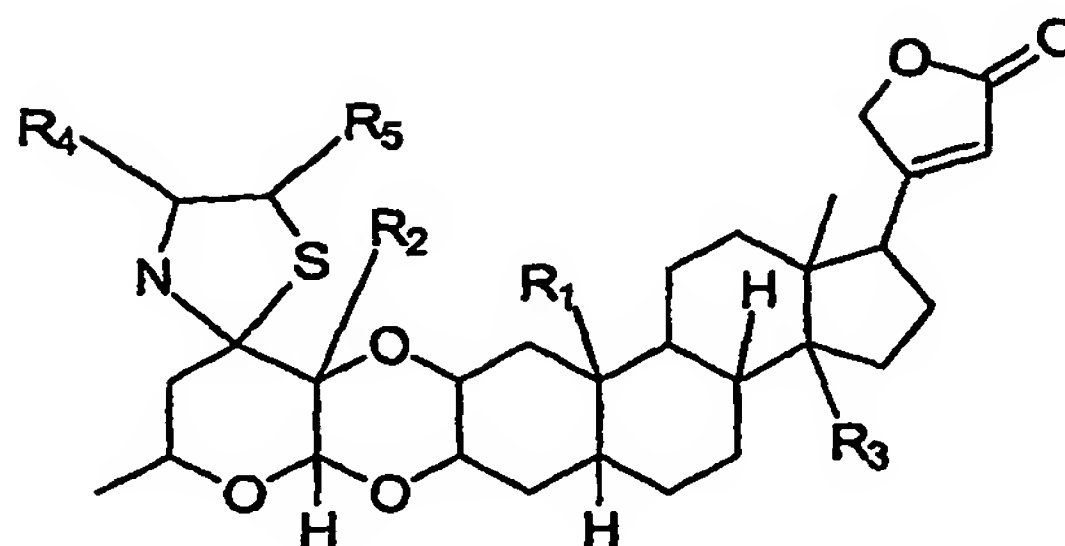


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CLAIMS

1. A compound of the formula I or a pharmaceutically acceptable salt thereof,
formula I



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- wherein R¹ is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, alkyloxy, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkylthiocarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthiocarbonyl, cycloalkylalkoxycarbonyl, cycloalkylalkoxythiocarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, arylthiocarbonyl, aralkoxycarbonyl, arylalkylthiocarbonyl, aryloxyalkyl, arylthioalkyl, haloalkyl, hydroxyalkyl, aralkanoyl, aroyl, aryloxycarbonylalkyl, aryloxyalkanoyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹, Het¹alkyl, Het¹oxyalkyl, Het¹aryl, Het¹aralkyl, Het¹cycloalkyl, Het¹carbonyl, Het¹alkoxycarbonyl, Het¹alkylthiocarbonyl, Het¹oxycarbonyl, Het¹thiocarbonyl, Het¹alkanoyl, Het¹aralkanoyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹aryloxycarbonyl, Het¹aralkoxycarbonyl, Het¹aroyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het¹aralkylcarbonyloxyalkyl, Het²alkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aralkyl, Het²carbonyl, Het²oxycarbonyl, Het²thiocarbonyl, Het²alkanoyl, Het²alkylthiocarbonyl, Het²alkoxycarbonyl, Het²aralkanoyl, Het²aralkoxycarbonyl, Het²aryloxycarbonyl, Het²aroyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²carbonyloxyalkyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, cyano, aminocarbonyl, aminoalkanoyl, aminoalkyl, CR⁶=NR⁷ or CR⁶=N(OR⁷), with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;
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wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkyloxy, alkylsilyloxy, arylsilyloxy, alkyloxyalkyloxy, cycloalkyloxy, cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, haloalkyloxy, hydroxyalkyloxy, aralkanoyloxy, aroyloxy, aryloxycarbonylalkyloxy, formyloxy, Het¹alkyloxy, Het¹oxy, Het¹oxyalkyloxy, Het¹aryloxy, Het¹aralkyloxy, Het¹cycloalkyloxy, Het¹carbonyloxy, Het¹oxycarbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het¹aryloxyalkyloxy, Het¹aroxy, Het²oxy, Het²alkyloxy, Het²oxyalkyloxy, Het²aralkyloxy, Het²cycloalkyloxy, Het²alkanoyloxy, Het²aralkanoyloxy, Het²carbonyloxyl, Het²aryloxy, Het²aryloxyalkyloxy,

wherein R^1 , R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, Het¹, Het², cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)_t, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het²amino, Het¹alkylamino, Het²alkylamino, Het¹thio, Het²thio, Het¹alkylthio, Het²alkylthio, Het¹oxy and Het²oxy, OR^8 , SR^8 , $SO_2NR^8R^9$, $SO_2N(OH)R^8$, CN, $CR^8=NR^9$, $S(O)R^8$, SO_2R^8 , $CR^8=N(OR^9)$, N_3 , NO_2 , NR^8R^9 , $N(OH)R^8$, $C(O)R^8$, $C(S)R^8$, CO_2R^8 , $C(O)SR^8$, $C(O)NR^8R^9$, $C(S)NR^8R^9$, $C(O)N(OH)R^9$, $C(S)N(OH)R^8$, $NR^8C(O)R^9$, $NR^8C(S)R^9$, $N(OH)C(O)R^9$, $N(OH)C(S)R^8$, $NR^8CO_2R^9$, $NR^8C(O)NR^9R^{10}$, and $NR^8C(S)NR^9R^{10}$, $N(OH)CO_2R^8$, $NR^8C(O)SR^9$, $N(OH)C(O)NR^8R^9$, $N(OH)C(S)NR^8R^9$, $NR^8C(O)N(OH)R^9$, $NR^8C(S)N(OH)R^9$, $NR^8SO_2R^9$, $NHSO_2NR^8R^9$, $NR^8SO_2NHR^9$, $P(O)(OR^8)(OR^9)$,

with t being an integer between 1 and 2, and R^8 , R^9 and R^{10} being each independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^4 is selected from the group comprising oxo, hydroxyl, alkyl, alkenyl, alkynyl, alkanediyl, alkyloxy, alkylthio, alkylamino, alkyloxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl, alkanoyl, cycloalkylcarbonylalkyl,

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cycloalkyl, cycloalkyloxy, cycloalkylthio, cycloalkylamino, cycloalkylalkyl, cycloalkylalkanoyl, aryl, aralkyl, arylalkenyl, arylcarbonyloxy, aryloxy carbonyloxy, aralkoxy carbonyloxy, aryloxyalkyl, haloalkyloxy, haloalkylthio, haloalkylamino, hydroxyalkyl, aralkanoyl, aryloxy carbonylalkyl, aryloxyalkanoyl, Het¹, Het¹alkyl, Het¹oxy, Het¹oxyalkyl, Het¹aryl, Het¹aralkyl, Het¹cycloalkyl, Het¹aryloxyalkyl, Het¹aroyle, Het², Het²oxy, Het²alkyl; Het²oxyalkyl, Het²aralkyl, Het²cycloalkyl, Het²aryl, Het²alkanoyl, Het²aralkanoyl, Het²aroyle, Het²aryloxyalkyl, aminocarbonyl, aminoalkanoyl, aminoalkyl, optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, Het¹, Het², cycloalkyl, alkyloxy carbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)_t, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het²amino, Het¹alkylamino, Het²alkylamino, Het¹thio, Het²thio, Het¹alkylthio, Het²alkylthio, Het¹oxy and Het²oxy, OR¹¹, SR¹¹, SO₂NR¹¹R¹², SO₂N(OH)R¹¹, CN, CR¹¹=NR¹², S(O)R¹¹, SO₂R¹¹, CR¹¹=N(OR¹²), N₃, NO₂, NR¹¹R¹², N(OH)R¹¹, C(O)R¹¹, C(S)R¹¹, CO₂R¹¹, C(O)SR¹¹, C(O)NR¹¹R¹², C(S)NR¹¹R¹², C(O)N(OH)R¹², C(S)N(OH)R¹¹, NR¹¹C(O)R¹², NR¹¹C(S)R¹², N(OH)C(O)R¹², N(OH)C(S)R¹¹, NR¹¹CO₂R¹², NR¹¹C(O)NR¹²R¹³, and NR¹¹C(S)NR¹²R¹³, N(OH)CO₂R¹¹, NR¹¹C(O)SR¹², N(OH)C(O)NR¹¹R¹², N(OH)C(S)NR¹¹R¹², NR¹¹C(O)N(OH)R¹², NR¹¹C(S)N(OH)R¹², NR¹¹SO₂R¹², NHSO₂NR¹¹R¹², NR¹¹SO₂NHR¹², P(O)(OR¹¹)(OR¹²), wherein t is an integer between 1 and 2, R¹¹, R¹² and R¹³ are each independently selected from the group comprising hydrogen, alkyl, alkenyl, and alkynyl; and

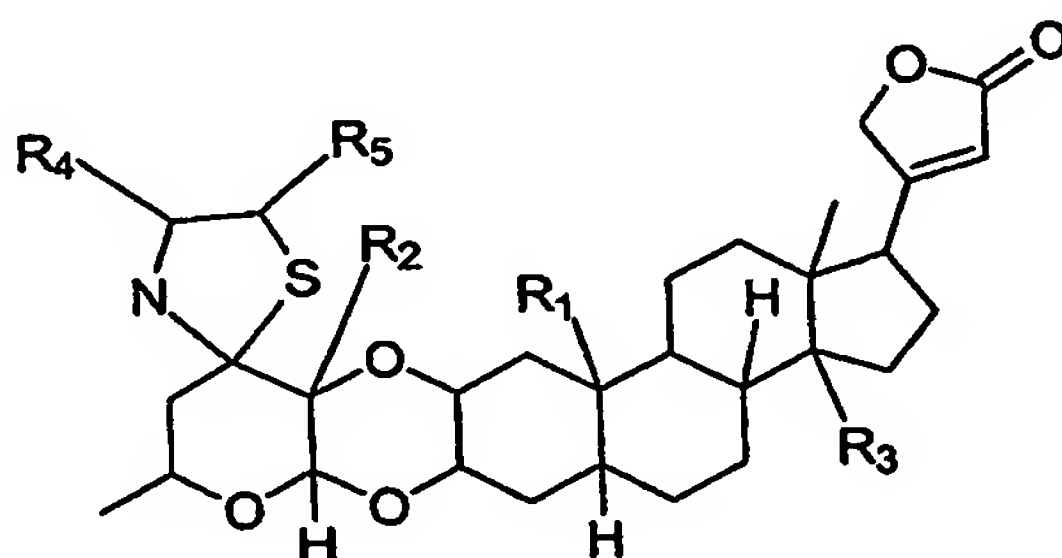
wherein R⁵ is selected from the group comprising hydrogen, oxo, hydroxyl, alkyl, alkenyl, alkynyl, alkanediyl, alkyloxy, alkyloxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl, alkanoyl, cycloalkylcarbonylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkanoyl, aryl, aralkyl, arylalkenyl, arylcarbonyloxy, aryloxy carbonyloxy, aralkoxy carbonyloxy, aryloxyalkyl, haloalkyl, hydroxyalkyl, aralkanoyl, aryloxy carbonylalkyl, aryloxyalkanoyl, Het¹, Het¹alkyl, Het¹oxy, Het¹oxyalkyl, Het¹aryl, Het¹aralkyl, Het¹cycloalkyl, Het¹aryloxyalkyl, Het¹aroyle, Het², Het²oxy, Het²alkyl; Het²oxyalkyl, Het²aralkyl, Het²cycloalkyl, Het²aryl, Het²alkanoyl, Het²aralkanoyl, Het²aroyle, Het²aryloxyalkyl, aminocarbonyl, aminoalkanoyl, aminoalkyl, optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl,

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aryl, Het¹, Het², cycloalkyl, alkyloxycarbonyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O)_t, hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, 5 arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, aylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio, alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het²amino, Het¹alkylamino, Het²alkylamino, Het¹thio, Het²thio, Het¹alkylthio, Het²alkylthio, Het¹oxy and Het²oxy, OR¹¹, SR¹¹, SO₂NR¹¹R¹², 10 SO₂N(OH)R¹¹, CN, CR¹¹=NR¹², S(O)R¹¹, SO₂R¹¹, CR¹¹=N(OR¹²), N₃, NO₂, NR¹¹R¹², N(OH)R¹¹, C(O)R¹¹, C(S)R¹¹, CO₂R¹¹, C(O)SR¹¹, C(O)NR¹¹R¹², C(S)NR¹¹R¹², C(O)N(OH)R¹², C(S)N(OH)R¹¹, NR¹¹C(O)R¹², NR¹¹C(S)R¹², N(OH)C(O)R¹², N(OH)C(S)R¹¹, NR¹¹CO₂R¹², NR¹¹C(O)NR¹²R¹³, and NR¹¹C(S)NR¹²R¹³, N(OH)CO₂R¹¹, NR¹¹C(O)SR¹², N(OH)C(O)NR¹¹R¹², N(OH)C(S)NR¹¹R¹², NR¹¹C(O)N(OH)R¹², NR¹¹C(S)N(OH)R¹², NR¹¹SO₂R¹², NHSO₂NR¹¹R¹², 15 NR¹¹SO₂NHR¹², P(O)(OR¹¹)(OR¹²), wherein t is an integer between 1 and 2, R¹¹, R¹² and R¹³ are each independently selected from the group comprising hydrogen, alkyl, alkenyl, and alkynyl.

2. A compound according to claim 1, having the formula I or a pharmaceutically acceptable salt thereof,

formula I



wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxy, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkylthiocarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthiocarbonyl, cycloalkylalkoxycarbonyl, 25 cycloalkylalkoxythiocarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, arylthiocarbonyl, aralkoxycarbonyl, arylalkylthiocarbonyl, aryloxyalkyl, arylthioalkyl, haloalkyl,

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hydroxyalkyl, aralkanoyl, aroyl, aryloxyalkyl, aryloxyalkanoyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹, Het¹alkyl, Het¹oxyalkyl, Het¹aryl, Het¹aralkyl, Het¹cycloalkyl, Het¹carbonyl, Het¹alkoxycarbonyl, Het¹alkylthiocarbonyl, Het¹oxycarbonyl, Het¹thiocarbonyl, Het¹alkanoyl, Het¹aralkanoyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹aryloxyalkyl, Het¹aralkoxycarbonyl, Het¹aroyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het¹aralkylcarbonyloxyalkyl, Het²alkyl; Het²oxyalkyl, Het²alkyloxyalkyl, Het²aralkyl, Het²carbonyl, Het²oxycarbonyl, Het²thiocarbonyl, Het²alkanoyl, Het²alkylthiocarbonyl, Het²alkoxycarbonyl, Het²aralkanoyl, Het²aralkoxycarbonyl, Het²aryloxyalkyl, Het²aroyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²carbonyloxyalkyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, cyano, aminocarbonyl, aminoalkanoyl, aminoalkyl, CR⁶=NR⁷ or CR⁶=N(OR⁷), with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R² and R³ are independently selected from the group comprising hydroxyl, alkyloxy, alkylsilyloxy, arylsilyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, haloalkyloxy, hydroxyalkyloxy, aralkanoyloxy, aroyloxy, aryloxyalkylalkyloxy, formyloxy, Het¹alkyloxy, Het¹oxy, Het¹oxyalkyloxy, Het¹aryloxy, Het¹aralkyloxy, Het¹cycloalkyloxy, Het¹carbonyloxy, Het¹oxycarbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het¹aryloxyalkyloxy, Het¹aroyl, Het²oxy, Het²alkyloxy; Het²oxyalkyloxy, Het²aralkyloxy, Het²cycloalkyloxy, Het²alkanoyloxy, Het²aralkanoyloxy, Het²carbonyloxy, Het²aryloxy, Het²aryloxyalkyloxy,

wherein R¹ R² and R³ are optionally substituted by one or more substituents independently selected from the group comprising alkyl, aralkyl, aryl, Het¹, Het², cycloalkyl, alkyloxyalkyl, carboxyl, aminocarbonyl, mono- or di(alkyl)aminocarbonyl, aminosulfonyl, alkylS(=O), hydroxy, cyano, halogen or amino optionally mono- or disubstituted wherein the substituents are independently selected from the group comprising alkyl, aryl, aralkyl, aryloxy, arylamino, arylthio, aryloxyalkyl, arylaminoalkyl, aralkoxy, alkylthio, alkoxy, aryloxyalkoxy, arylaminoalkoxy, aralkylamino, aryloxyalkylamino, arylaminoalkylamino, arylthioalkoxy, arylthioalkylamino, aralkylthio, aryloxyalkylthio, arylaminoalkylthio, arylthioalkylthio,

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alkylamino, cycloalkyl, cycloalkylalkyl, Het¹, Het², Het¹alkyl, Het²alkyl, Het¹amino, Het²amino, Het¹alkylamino, Het²alkylamino, Het¹thio, Het²thio, Het¹alkylthio, Het²alkylthio, Het¹oxy and Het²oxy, OR⁸, SR⁸, SO₂NR⁸R⁹, SO₂N(OH)R⁸, CN, CR⁸=NR⁹, S(O)R⁸, SO₂R⁸, CR⁸=N(OR⁹), N₃, NO₂, NR⁸R⁹, N(OH)R⁸, C(O)R⁸, C(S)R⁸, CO₂R⁸, C(O)SR⁸, C(O)NR⁸R⁹, C(S)NR⁸R⁹,
 5 C(O)N(OH)R⁹, C(S)N(OH)R⁸, NR⁸C(O)R⁹, NR⁸C(S)R⁹, N(OH)C(O)R⁹, N(OH)C(S)R⁸, NR⁸CO₂R⁹, NR⁸C(O)NR⁹R¹⁰, and NR⁸C(S)NR⁹R¹⁰, N(OH)CO₂R⁸, NR⁸C(O)SR⁹, N(OH)C(O)NR⁸R⁹, N(OH)C(S)NR⁸R⁹, NR⁸C(O)N(OH)R⁹, NR⁸C(S)N(OH)R⁹, NR⁸SO₂R⁹, NHSO₂NR⁸R⁹, NR⁸SO₂NHR⁹, P(O)(OR⁸)(OR⁹),

with t being an integer between 1 and 2, and R⁸ R⁹ and R¹⁰ being each independently
 10 selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R⁴ is oxo and R⁵ is hydrogen or alkyl.

15 3. A compound according to claim 1,

wherein R¹ is selected from the group comprising hydrogen, alkyl, hydroxyalkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl,
 20 arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹alkoxycarbonyl, Het¹oxycarbonyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹aryloxycarbonyl, Het¹aralkoxycarbonyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl,
 25 Het¹aralkylcarbonyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²oxycarbonyl, Het²alkoxycarbonyl, Het²aralkoxycarbonyl, Het²aryloxycarbonyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²carbonyloxyalkyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, CR⁶=NR⁷, CR⁶=N(OR⁷),

30 with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

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wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy, silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, aryloxycarbonylalkyloxy, formyloxy, Het¹alkyloxy, Het¹oxy, Het¹oxyalkyloxy, Het¹aryloxy, Het¹aralkyloxy, Het¹cycloalkyloxy, Het¹carbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het¹aryloxyalkyloxy, Het²oxy, Het²alkyloxy, Het²oxyalkyloxy, Het²aralkyloxy, Het²cycloalkyloxy, Het²alkanoyloxy, Het²aralkanoyloxy, Het²carbonyloxy, Het²aryloxy, Het²aryloxyalkyloxy,

wherein R^1 , R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

wherein R^4 is selected from the group comprising, oxo, hydroxyalkyl, alkyl, alkenyl, alkylcarbonylalkyl, arylcarbonylalkyl and R^5 is hydrogen, oxo, hydroxyl, hydroxyalkyl, alkyl, alkenyl, alkylcarbonylalkyl, arylcarbonylalkyl.

4. A compound according to claim 1 or 2,

wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹alkoxycarbonyl, Het¹oxycarbonyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹aryloxycarbonyl, Het¹aralkoxycarbonyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het¹aralkylcarbonyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²oxycarbonyl, Het²alkoxycarbonyl, Het²aralkoxycarbonyl, Het²aryloxycarbonyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²carbonyloxyalkyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, $CR^6=NR^7$, $CR^6=N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkyloxy, alkyloxyalkyloxy, cycloalkyloxy cycloalkylalkyloxy, aralkyloxy, aryloxyalkyloxy,

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silyloxy, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, aryloxycarbonylalkyloxy, formyloxy, Het¹alkyloxy, Het¹oxy, Het¹oxyalkyloxy, Het¹aryloxy, Het¹aralkyloxy, Het¹cycloalkyloxy, Het¹carbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het¹aryloxyalkyloxy, Het²oxy, Het²alkyloxy, Het²oxyalkyloxy, Het²aralkyloxy, Het²cycloalkyloxy, Het²alkanoyloxy, Het²aralkanoyloxy, Het²carbonyloxyl, Het²aryloxy, Het²aryloxyalkyloxy,

wherein R¹ R² and R³ are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

wherein R⁴ is oxo and R⁵ is hydrogen or alkyl.

5. A compound according to claim 1, 2 or 4,

wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, CR⁶=NR⁷, CR⁶=N(OR⁷),

with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R² and R³ are independently selected from the group comprising hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, formyloxy, Het¹carbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het²carbonyloxyl, Het²alkanoyloxy, Het²aralkanoyloxy,

wherein R¹ R² and R³ are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

wherein R⁴ is oxo and R⁵ is hydrogen or alkyl.

6. A compound according to any of claims 1, 2, 4 to 5, wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, carboxyl, formyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, optionally substituted by one or more

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substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 is oxo and R^5 is hydrogen.

7. A compound according to any of claims 1, 2, 4 to 6, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, formyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl, R^4 is oxo and R^5 is hydrogen.
8. A compound according to any of claims 1, 2, 4 to 7, wherein R^1 is selected from the group comprising alkyl, carboxyl, formyl; wherein R^2 and R^3 are hydroxyl, and wherein R^4 is oxo and R^5 is hydrogen.
9. A compound according to claim 8, wherein R^1 is formyl, R^2 and R^3 are hydroxyl R^4 is oxo and R^5 is hydrogen.
10. A compound according to claim 1 or 3,
wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, alkyloxyalkyl, hydroxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, carboxyl, formyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, $CR^6=NR^7$, $CR^6=N(OR^7)$,
with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;
wherein R^2 and R^3 are independently selected from the group comprising hydroxyl, alkylcarbonyloxy, arylcarbonyloxy, cycloalkylcarbonyloxy, formyloxy, Het¹carbonyloxy, Het¹alkanoyloxy, Het¹aralkanoyloxy, Het²carbonyloxy, Het²alkanoyloxy, Het²aralkanoyloxy,
wherein R^1 , R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group indicated in claim 1; and

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wherein R^4 is oxo, hydroxyalkyl, alkyl, alkenyl, arylcarbonylaryl, alkylcarbonylalkyl and R^5 is hydrogen or alkyl.

11. A compound according to any of claims 1, 3 or 10, wherein R^1 is hydroxyalkyl, R^2 and R^3 are hydroxyl, R^4 is oxo and R^5 is hydrogen.

12. A compound according to any of claims 1, 3 or 10, wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, carboxyl, formyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 is hydroxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl and R^5 is hydrogen.

13. A compound according to any of claims 1, 3, 10 or 12, wherein R^1 is selected from the group comprising hydrogen, alkyl, alkenyl, alkynyl, hydroxyalkyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, formyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl, R^4 is hydroxyalkyl, arylcarbonylalkyl, alkylcarbonylalkyl and R^5 is hydrogen.

14. A compound according to any of claims 1, 3, 10, 12 or 13, wherein R^1 is selected from the group comprising alkyl, hydroxyalkyl, carboxyl, formyl; wherein R^2 and R^3 are hydroxyl, and wherein R^4 is arylcarbonylalkyl and R^5 is hydrogen.

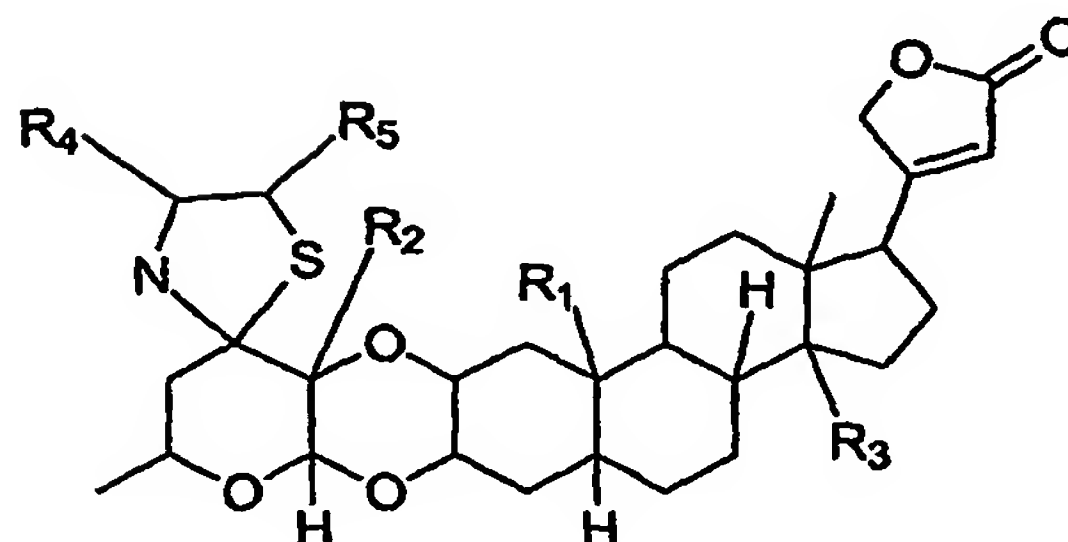
15. A compound according to claim 14, wherein R^1 is hydroxyalkyl, R^2 and R^3 are hydroxyl, R^4 is arylcarbonylalkyl and R^5 is hydrogen.

16. A compound according to claim 15, wherein R^1 is hydroxymethylene, R^2 and R^3 are hydroxyl, R^4 is phenylcarbonylmethylene and R^5 is hydrogen.

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17. A compound having the formula Ia or a pharmaceutically acceptable salt or ester thereof,

formula Ia



5 wherein R¹ is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹alkoxycarbonyl, Het¹oxycarbonyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹aryloxycarbonyl, Het¹aralkoxycarbonyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het¹aralkylcarbonyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²oxycarbonyl, Het²alkoxycarbonyl, Het²aralkoxycarbonyl, Het²aryloxycarbonyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²carbonyloxyalkyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, CR⁶=NR⁷, CR⁶=N(OR⁷),

20 with R⁶ and R⁷ being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R² and R³ have the same definition as in claim 1;

wherein R¹, R² and R³ are optionally substituted by one or more substituents independently selected from the group as indicated in claim 1, and

25 wherein R⁴ and R⁵ are hydrogen or alkyl.

18. A compound according to claim 17,

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wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, $CR^6=NR^7$, $CR^6=N(OR^7)$, with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^2 and R^3 have the same definition as in claim 1;

wherein R^1 , R^2 and R^3 are optionally substituted by one or more substituents independently selected from the group as indicated in claims 1, and

wherein R^4 and R^5 are hydrogen or alkyl.

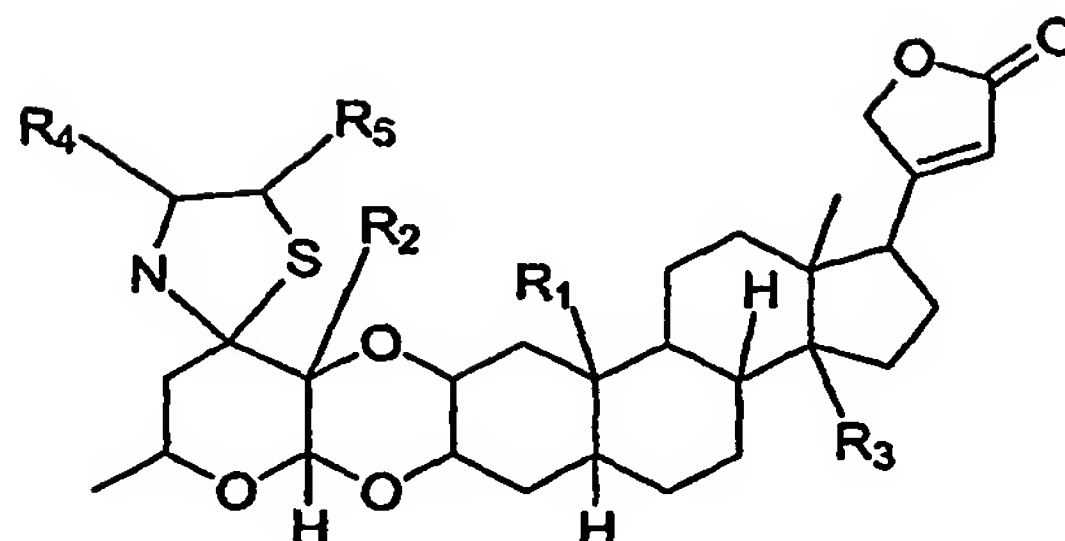
19. A compound according to claim 17 or 18, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, cycloalkylalkyl, cycloalkylthioalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylthioalkyl, silyloxyalkyl, carboxyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, Het²arylthioalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 and R^5 are hydrogen or alkyl.

20. A compound according to any of claims 17 to 19, wherein R^1 is selected from the group comprising alkyl, alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl, Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R^2 and R^3 are hydroxyl and wherein R^4 and R^5 are hydrogen.

21. A compound having the formula Ib or a pharmaceutically acceptable salt or ester thereof,

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formula Ib



wherein R^1 is selected from the group comprising alkenyl, alkynyl, alkyloxyalkyl, alkylthioalkyl, alkyloxycarbonyl, alkanoyl, cycloalkylalkyl, cycloalkylcarbonyl, cycloalkylalkanoyl, cycloalkylalkoxycarbonyl, cycloalkylthioalkyl, alkylcarbonyloxyalkyl, arylcarbonyloxyalkyl, cycloalkylcarbonyloxyalkyl, silyloxyalkyl, aralkyl, arylalkenyl, arylcarbonyl, aryloxycarbonyl, aralkoxycarbonyl, arylthioalkyl, aralkanoyl, aroyl, silyloxyalkyl, carboxyl, alkenylcarbonyl, alkynylcarbonyl, Het¹oxyalkyl, Het¹alkoxycarbonyl, Het¹oxycarbonyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het¹arylthioalkyl, Het¹aryloxycarbonyl, Het¹aralkoxycarbonyl, Het¹oxyalkylcarbonyl, Het¹alkyloxyalkylcarbonyl, Het¹aryloxyalkylcarbonyl, Het¹carbonyloxyalkyl, Het¹alkylcarbonyloxyalkyl, Het¹aralkylcarbonyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²oxycarbonyl, Het²alkoxycarbonyl, Het²aralkoxycarbonyl, Het²aryloxycarbonyl, Het²aryloxyalkyl, Het²arylthioalkyl, Het²oxyalkylcarbonyl, Het²alkyloxyalkylcarbonyl, Het²aryloxyalkylcarbonyl, Het²carbonyloxyalkyl, Het²alkylcarbonyloxyalkyl, Het²aralkylcarbonyloxyalkyl, $CR^6=NR^7$, $CR^6=N(OR^7)$,

with R^6 and R^7 being independently selected from the group comprising hydrogen, hydroxyl, alkyl, aryl, Het¹, Het¹alkyl, Het¹aryl, alkenyl, alkynyl, aminoalkyl, aminoaryl, alkylcarbonylamino, arylcarbonylamino, alkylthiocarbonylamino and arylthiocarbonylamino;

wherein R^1 is optionally substituted by one or more substituents independently selected from the group as indicated in claim 1, and

wherein R^2 and R^3 are hydroxyl and wherein R^4 is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein R^5 is hydrogen.

22. A compound according to claim 21, wherein R^1 is selected from the group comprising alkenyl, alkynyl, alkyloxyalkyl, cycloalkylalkyl, silyloxyalkyl, aralkyl, arylalkenyl, carboxyl,

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Het¹oxyalkyl, Het¹aryloxyalkyl, Het¹alkyloxyalkyl, Het²oxyalkyl, Het²alkyloxyalkyl, Het²aryloxyalkyl, optionally substituted by one or more substituents independently selected from the group indicated in claim 1; wherein R² and R³ are hydroxyl and wherein R⁴ and R⁵ are hydrogen.

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23. A compound according to claim 22, wherein R¹ has the same definition as in claim 20, wherein R² and R³ are hydroxyl; wherein R⁴ is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein R⁵ is hydrogen.

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24. Compound of formula I, wherein R¹ is hydroxyalkyl, wherein R² and R³ are hydroxyl; wherein R⁴ is replaced by a double bond between the N atom and the C carbon atom of the N-containing heterocyclic ring of formula I; and wherein R⁵ is hydrogen.

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25. Compound of formula I or a pharmaceutically acceptable salt or ester thereof, wherein R¹, R², R³, R⁴ and R⁵ are selected as in Table A.

26. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to any of claims 1-25.

20

27. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to claim 9.

28. A pharmaceutical composition comprising a pharmaceutically acceptable excipient and a therapeutically effective amount of a compound according to claim 11.

25

29. A compound according to any of claims 1 to 25 for use as a medicament.

30. Use of a compound according to any of claims 1 to 25 for the preparation of a medicament for treating cancer.

30

31. Use of a compound according to any of claims 1 to 25 in the treatment of cancer.

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32. Method of treating cancer comprising administrating to an individual in need of such treatment a pharmaceutical composition according to any of claims 26 to 28.

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